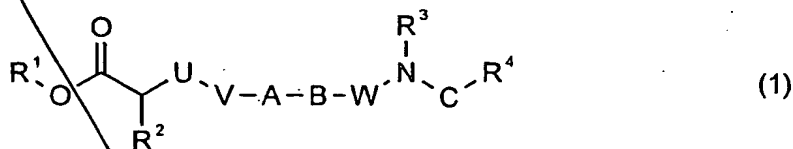


Claims

1. A compound of the general formula (1)



wherein

R<sup>1</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R<sup>2</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue, -NR<sup>2'</sup>SO<sub>2</sub>R<sup>2''</sup>, -NR<sup>2'</sup>COOR<sup>2'</sup>, -NR<sup>2'</sup>COR<sup>2'</sup>, -NR<sup>2'</sup>CONR<sup>2'</sup><sub>2</sub> or -NR<sup>2'</sup>CSNR<sup>2'</sup><sub>2</sub>;

R<sup>2'</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R<sup>2''</sup> is a substituted or unsubstituted alkyl, alkenyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

U is a direct bond or a substituted or unsubstituted alkylene group;

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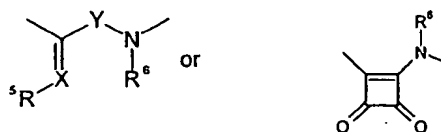
Sub  
A1

V is a substituted or unsubstituted alkylene group,  $-NR^{2'}CO-$  or  $-NR^{2'}SO_2-$ ;

A and B are each independently of one another a 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group each of which may optionally have additional substituents,

W is a direct bond or a substituted or unsubstituted alkylene group;

C is a direct bond or



$R^3$  is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of  $R^4$ , Y,  $R^5$  or  $R^6$ , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which  $R^3$  is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^4$  is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of  $R^3$ , Y,  $R^5$  or  $R^6$ , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which  $R^4$  is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is  $CHNO_2$ ,  $CHCN$ , O, N or S;

Y is a direct bond or an optionally substituted alkylene or alkine group;

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Sub  
A1

R<sup>6</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl or arylcarbonyl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>3</sup>, R<sup>4</sup>, Y or R<sup>5</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>6</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R<sup>1</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl,

cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

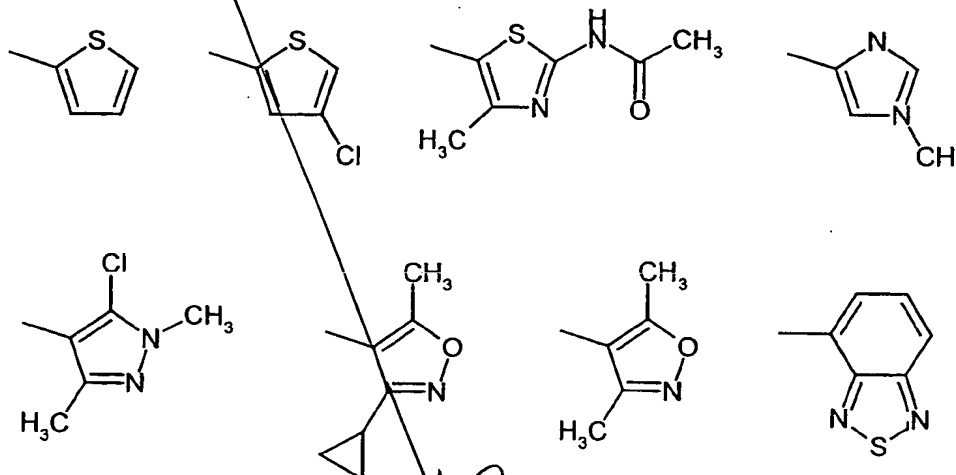
5  $R^2$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,  $-NR^{2'}SO_2R^{2''}$ ,  $-NR^{2'}COOR^{2'}$ ,  $-NR^{2'}COR^{2'}$ ,  $-NR^{2'}CONR^{2'}$ , or  $-NR^{2'}CSNR^{2'}$ ;

10  $R^{2'}$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof as, for example, 2-chlorophenyl, 2-methoxyphenyl, 2,4,6-trimethylphenyl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dichlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-trifluoromethyl phenyl;

15  $R^{2''}$  is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, 1,1,1-trifluorobutyl, allyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, 4-ethylphenyl,  $-C_6H_2(CH_3)_3$ , 2-chlorophenyl, 4-chlorophenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl, campher-10-yl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulfonylphenyl, 2-arylsulfonylphenyl, 3-(N-acetyl-6-methoxy)anilino, 2-methoxycarbonylphenyl, 4-N-acetylphenyl, 4-ethylphenyl, 3-chloro-4-fluorophenyl, 2-

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25  
30

fluorophenyl, 3-fluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 1-naphthyl, 4-trifluoromethoxyphenyl, 2-trifluoromethoxyphenyl, 4-chloro-2-trifluoro-phenyl, 2-trifluoromethoxy-4-bromo-phenyl, 2-fluoro-4-trifluoromethylphenyl, 8-quinolinyl or a group of the formula



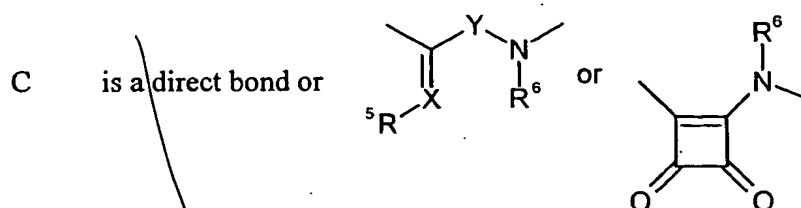
U is a direct bond,

V is an optionally substituted  $C_{1-5}$ -alkylene group;

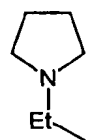
A is a 1,3- or 1,4-bridging phenylene group which is unsubstituted or carries at least one alkoxy or halogeno residue;

B is a 1,3- or 1,4-bridging phenylene group which is unsubstituted or carries at least one alkyl residue;

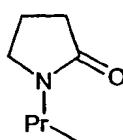
W is a direct bond or an optionally substituted  $C_{1-4}$ -alkylene group;



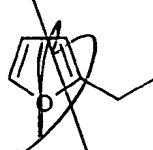
R<sup>3</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, isobutyl, t-butyl, pentyl, 2-methylbutyl, isopentyl, neopentyl, hexyl, C<sub>1-4</sub>-perfluoroalkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof, C<sub>1-4</sub>-alkylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-dialkylamino-C<sub>1-4</sub>-alkyl, amino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyloxy-C<sub>1-4</sub>-alkyl, dialkylamino-C<sub>1-4</sub>-alkyl, amino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyloxy-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-perfluoroalkyl-C<sub>1-4</sub>-alkyl,



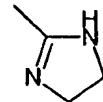
(a1)



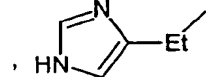
(a2)



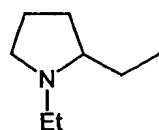
(a3)



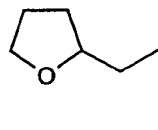
(a4)



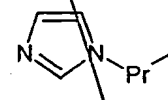
(a5)



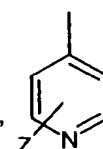
(a6)



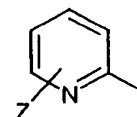
(a7)



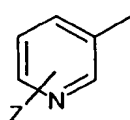
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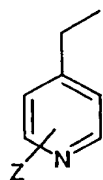
(a9)



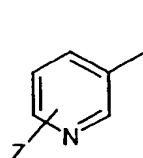
(a10)



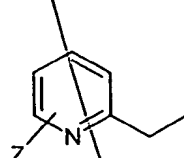
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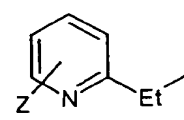
(a12)



(a13)

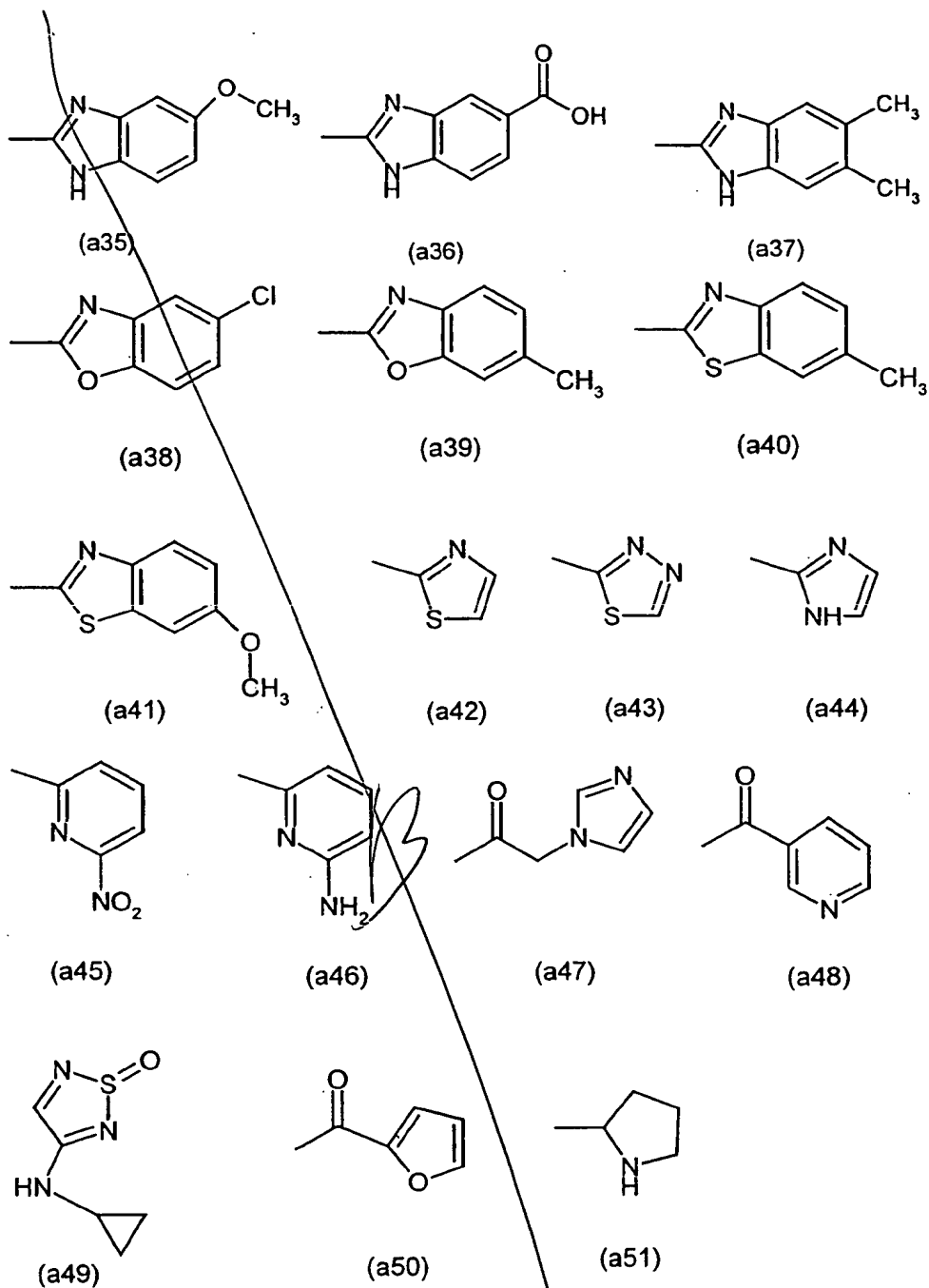


(a14)



(a15)





wherein

Z is hydrogen, -NO<sub>2</sub> or -NH<sub>2</sub>,

or



R<sup>3</sup> is connected to one of R<sup>4</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R<sup>3</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

R<sup>4</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methyl-propyl, isobutyl, t-butyl, pentyl, 2-methyl-butyl, isopentyl, neopentyl, hexyl, C<sub>1-4</sub>-perfluoralkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof, C<sub>1-4</sub>-alkylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-dialkylamino-C<sub>1-4</sub>-alkyl, amino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyloxy-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-perfluoralkyl-C<sub>1-4</sub>-alkyl, one of the residues (a1) to (a51) or is connected to one of R<sup>3</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R<sup>4</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is CHNO<sub>2</sub>, CHCN, O, N or S;

Y is a direct bond or a substituted or unsubstituted methylene or methine group;

R<sup>5</sup> is absent, or is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, -NO<sub>2</sub>, -CN, -COR<sup>5</sup>, -COOR<sup>5</sup> or is connected to one of R<sup>3</sup>, Y, R<sup>4</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted carbocyclic or heterocyclic

4- to 6-membered ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

5  $R^5$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

10  $R^6$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, isobutyl, t-butyl, pentyl, isopentyl, 2-methylbutyl, neopentyl, hexyl,  $C_{1-4}$ -perfluoroalkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof,  $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -dialkylamino- $C_{1-4}$ -alkyl, amino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkyloxy- $C_{1-4}$ -alkyl,  $C_{1-2}$ -perfluoroalkyl- $C_{1-4}$ -alkyl, one of the residues (a1) to (a51) or is connected to one of  $R^3$ , Y,  $R^4$  or  $R^5$ , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which  $R^6$  is bonded and can be saturated or unsaturated and/or can contain further heteroatoms.

3. A compound as claimed in claim 2,

25 wherein

$R^2$  is  $-NR^{2'}SO_2R^{2''}$ ,  $-NR^{2'}COOR^{2'}$ ,  $-NR^{2'}COR^{2'}$ ,  $-NR^{2'}CONR^{2'}_2$  or  $-NR^{2'}CSNR^{2'}_2$ ;

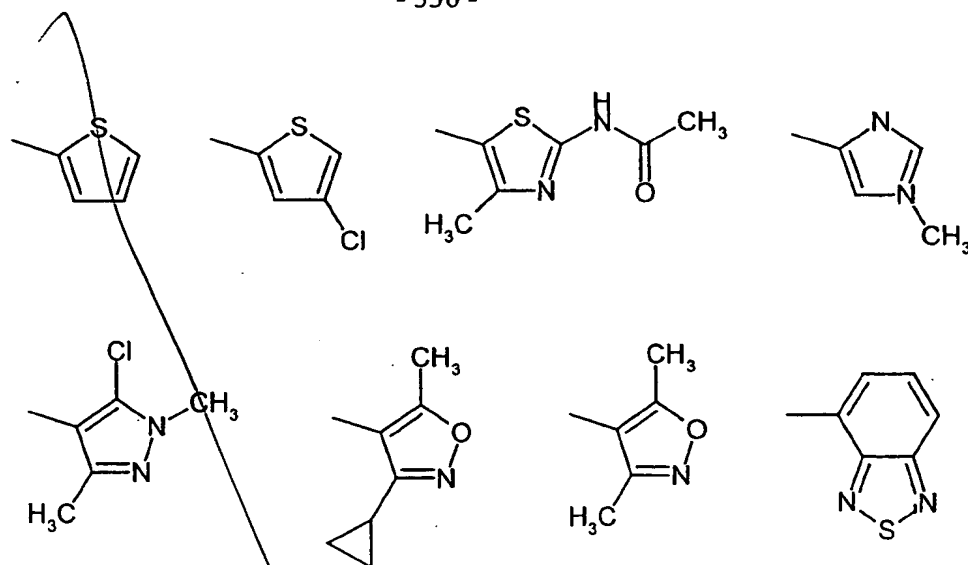
30  $R^{2'}$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclo-

pentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof as, for example, 2-chlorophenyl, 2-methoxyphenyl, 2,4,6-trimethylphenyl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dichlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-trifluoromethyl phenyl;

5 R<sup>2''</sup> is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, 1,1,1-trifluorobutyl, allyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, 4-ethylphenyl, -C<sub>6</sub>H<sub>2</sub>(CH<sub>3</sub>)<sub>3</sub>, 2-chloro-phenyl, 4-chlorophenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl, 10 campher-10-yl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 15 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulfonylphenyl, 2-aryl-sulfonylphenyl, 3-(N-acetyl-6-methoxy)anilino, 2-methoxycarbonylphenyl, 4-N-acetylphenyl, 4-ethylphenyl, 3-chloro-4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 20 3,4-difluorophenyl, 1-naphthyl, 4-trifluoromethoxyphenyl, 2-trifluoromethoxyphenyl, 4-chloro-2-trifluoro-phenyl, 2-trifluoromethoxy-4-bromo-phenyl, 2-fluoro-4-trifluoromethylphenyl, 8-quinolinyl or a group of the formula

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and the other substituents are as defined in claim 2.

5      4. A compound as claimed in claim 2,

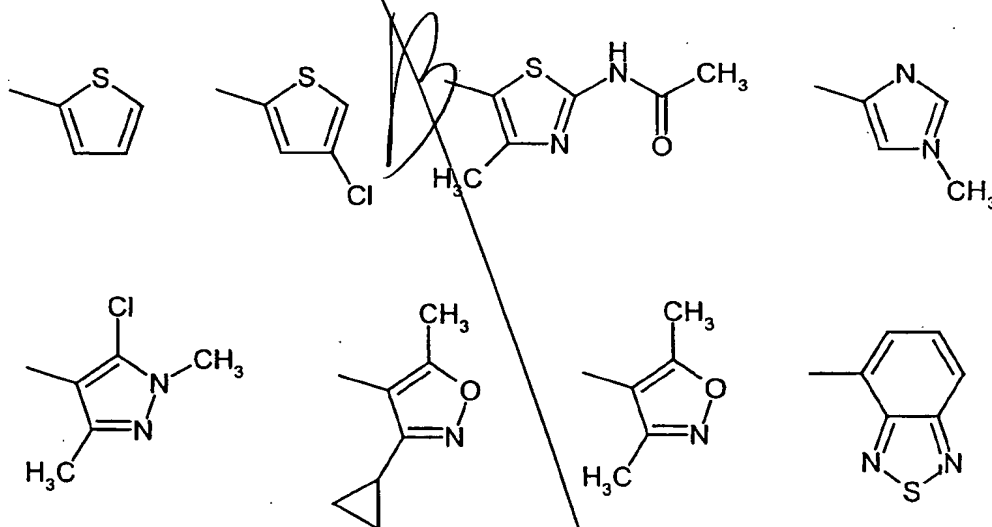
wherein,

10       $R^2$  is  $-NR^{2'}SO_2R^{2''}$  or  $-NR^{2'}COOR^{2''}$ ;

15       $R^{2'}$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof as, for example, 2-chlorophenyl, 2-methoxyphenyl, 2,4,6-trimethylphenyl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dichlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-trifluoromethyl phenyl;

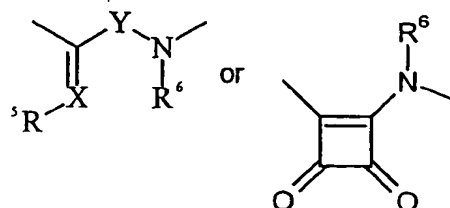
20       $R^{2''}$  is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, 1,1,1-trifluorobutyl, allyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, 4-ethylphenyl,  $-C_6H_2(CH_3)_3$ , 2-chlorophenyl, 4-chlorophenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl,

campher-10-yl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulfonylphenyl, 2-arylsulfonylphenyl, 3-(N-acetyl-6-methoxy)anilino, 2-methoxycarbonylphenyl, 4-N-acetylphenyl, 4-ethylphenyl, 3-chloro-4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 1-naphthyl, 4-trifluoromethoxyphenyl, 2-trifluoromethoxyphenyl, 4-chloro-2-trifluorophenyl, 2-trifluoromethoxy-4-bromophenyl, 2-fluoro-4-trifluoromethylphenyl, 8-quinoliny, a group of the formula



- A is a 1,3- or 1,4-bridging phenylene group optionally substituted with a methoxy or up to 2 fluororesidues;
- B is an optionally methyl-substituted 1,3- or 1,4-bridging phenylene group;

C is a direct bond or



R<sup>5</sup> is absent, -NO<sub>2</sub>, -CN, or is connected to one of R<sup>3</sup>, Y, R<sup>4</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted carbocyclic or heterocyclic 4- to 6-membered ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

and the other substituents are as defined in claim 2.

5. A compound as claimed in claim 2,

wherein

R<sup>2</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,

U is a direct bond,

V is -CHR<sup>7</sup>- or -CHR<sup>7</sup>(CH<sub>2</sub>)<sub>1-4</sub>-;

R<sup>7</sup> is -NR<sup>7'</sup>SO<sub>2</sub>R<sup>7''</sup>, -NR<sup>7'</sup>COOR<sup>7'</sup>, -NR<sup>7'</sup>COR<sup>7'</sup>, -NR<sup>7'</sup>CONR<sup>7'</sup><sub>2</sub> or -NR<sup>7'</sup>CSNR<sup>7'</sup><sub>2</sub>;

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5 R<sup>7</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof as, for example, 2-chlorophenyl, 2-methoxyphenyl, 2,4,6-trimethylphenyl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dichlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-trifluoromethyl phenyl;

10 R<sup>7"</sup> is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -C<sub>6</sub>H<sub>2</sub>(CH<sub>3</sub>)<sub>3</sub>, 2-chlorophenyl, 4-chlorophenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl, campher-10-yl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulfonylphenyl, 2-arylsulfonylphenyl, 3-(N-acetyl-6-methoxy)anilino, 2-methoxycarbonylphenyl, 4-N-acetylphenyl, 4-ethylphenyl, 3-chloro-4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 3,4-difluorophenyl, 1-naphthyl, 4-trifluoromethoxyphenyl, 2-trifluoromethoxyphenyl, or 8-quinolinyl,

and the other substituents are as defined in claim 2.

25 6. A compound as claimed in claim 2,

wherein

30 R<sup>2</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclo-

R'' is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, -C<sub>6</sub>H<sub>2</sub>(CH<sub>3</sub>)<sub>3</sub>, 2-chlorophenyl, 4-chlorophenyl, 2,5-dichlorophenyl, 4-trifluoromethylphenyl, campher-10-yl, 4-methoxyphenyl, 4-t-butylphenyl, 2,5-dimethylphenyl, 2,6-dimethylphenyl, 3-chlorophenyl, 2-methoxy-5-methylphenyl, 2,3,5,6-tetramethylphenyl, 2,3-dichlorophenyl, 2,6-dichlorophenyl, 2-naphthyl, 3-trifluoromethylphenyl, 4-fluorophenyl, 2,4-difluorophenyl, 2-chloro-6-methylphenyl, 2-chloro-4-fluorophenyl, 2,5-dimethoxyphenyl, 3,4-dimethoxyphenyl, 3-chloro-6-methoxyphenyl, 2-trifluoromethylphenyl, 2-alkylsulfonylphenyl, 2-arylsulfonylphenyl, 3-(N-acetyl-6-methoxy)anilino, 2-methoxycarbonylphenyl, 4-N-acetylphenyl, 4-ethylphenyl, 3-chloro-4-fluorophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,4-difluorophenyl, 2,6-

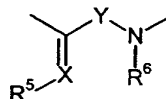


difluorophenyl, 3,4-difluorophenyl, 1-naphthyl, 4-trifluoromethoxyphenyl, 2-trifluoromethoxyphenyl, or 8-quinolinyll,

A is a 1,3- or 1,4-bridging phenylene group optionally substituted with a methoxy or upto 2 fluoro residues;

B is an optionally methyl-substituted 1,3- or 1,4-bridging phenylene group;

C is a direct bond or



W is direct bond or a  $-\text{CH}_2-$  group

X is O or S

Y is a direct bond

$\text{R}^5$  is absent

and the other substituents are as defined in claim 2.

7. A compound as claimed in claim 2,

wherein

$\text{R}^2$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted

derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,

U is a direct bond,

V is a C<sub>1-5</sub>-alkylene group which is optionally substituted by one or more residues R<sup>7</sup> which are selected from the group consisting of hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl, a substituted derivative or a saturated or unsaturated, optionally substituted heterocyclic analog thereof, an optionally substituted alkenyl residue or an optionally substituted alkynyl residue;

and the other substituents are as defined in claim 2.

8. A compound as claimed in claim 2,

wherein

R<sup>2</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,

U is a direct bond,

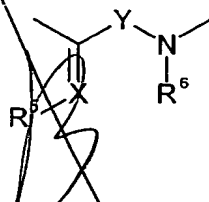
V is -CHR<sup>7</sup>-;

R<sup>7</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,

A is a 1,3- or 1,4-bridging phenylene group optionally substituted with a methoxy or up to 2 fluoro residues

B is an optionally methyl-substituted 1,3- or 1,4-bridging phenylene group;

C is a direct bond or



W is a direct bond or a -CH<sub>2</sub>-group

X is O or S;

Y is a direct bond

R<sup>5</sup> is absent

and the other substituents are as defined in claim 2.

9. A compound as claimed in claim 1,

wherein

Sub  
A3

R<sup>1</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof;

R<sup>2</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl, phenylethyl, a substituted derivative or a saturated or unsaturated, optionally substituted heterocyclic analog thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue;

U is a direct bond or an optionally substituted C<sub>1-3</sub>-alkylene group;

V is  $\text{-NR}^8\text{CO-}$  or  $\text{-NR}^8\text{SO}_2\text{-}$ ;

R<sup>8</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl, phenylethyl, phenylpropyl, phenoxyethyl or a substituted derivative thereof;

A is a 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group which are unsubstituted or have at least one alkoxy or halogeno residue;

B is a 1,3- or 1,4-bridging phenylene group which is unsubstituted or has at least one alkyl residue;

W is a direct bond or an optionally substituted C<sub>1-3</sub>-alkylene group;

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A3

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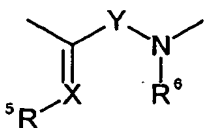
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25

30

C is



*Sub A3*

*5*

*10*

*15*

*20*

*25*

$R^3$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, isobutyl, t-butyl, pentyl, 2-methylbutyl, isopentyl, neopentyl, hexyl,  $C_{1-4}$ -perfluoroalkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof,  $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -dialkylamino- $C_{1-4}$ -alkyl, amino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkyloxy- $C_{1-4}$ -alkyl,  $C_{1-2}$ -perfluoroalkyl- $C_{1-4}$ -alkyl, one of the residues (a1) to (a51) or is connected to one of  $R^4$ , Y or  $R^6$ , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which  $R^3$  is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^4$  is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methyl-propyl, isobutyl, t-butyl, pentyl, 2-methyl-butyl, isopentyl, neopentyl, hexyl,  $C_{1-4}$ -perfluoroalkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof,  $C_{1-4}$ -alkylamino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -dialkylamino- $C_{1-4}$ -alkyl, amino- $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkyloxy- $C_{1-4}$ -alkyl,  $C_{1-2}$ -perfluoroalkyl- $C_{1-4}$ -alkyl, one of the residues (a1) to (a51) or is connected to one of  $R^3$ , Y or  $R^6$ , if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which  $R^4$  is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X is  $CHNO_2$ ,  $CHCN$ , O or S;

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Y is a direct bond or a substituted or unsubstituted methylene or methine group;

R<sup>5</sup> is absent;

R<sup>6</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, 1-methylpropyl, isobutyl, t-butyl, pentyl, isopentyl, 2-methylbutyl, neopentyl, hexyl, C<sub>1-4</sub>-perfluoroalkyl, cyclopropyl, cyclopropylmethyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 4-methylcyclohexyl, 3,3,5-trimethylcyclohexyl, 5-methyl-2-hexyl, allyl, propinyl, phenyl, benzyl, tolyl, benzoyl or a substituted derivative thereof, C<sub>1-4</sub>-alkylamino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-dialkylamino-C<sub>1-4</sub>-alkyl, amino-C<sub>1-4</sub>-alkyl, C<sub>1-4</sub>-alkyloxy-C<sub>1-4</sub>-alkyl, C<sub>1-2</sub>-perfluoroalkyl-C<sub>1-4</sub>-alkyl, one of the residues (a1) to (a51) or is connected to one of R<sup>3</sup>, Y, R<sup>4</sup>, if present, with formation of an optionally substituted heterocyclic 4- to 6-membered ring system which includes the nitrogen atom to which R<sup>6</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms.

10. A compound as claimed in claim 9,

U is a direct bond or -CHR<sup>7</sup>-;

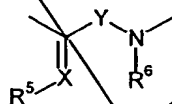
R<sup>7</sup> is hydrogen, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, isopentyl, neopentyl, hexyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl, benzyl, tolyl or a substituted derivative thereof, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue or pyridyl;

A is a 1,3- or 1,4-bridging phenylene group optionally substituted with a methoxy group or up to 2 fluoro residues;

B is an optionally methyl-substituted 1,3- or 1,4-bridging phenylene group;

5 W is a direct bond or a  $-\text{CH}_2$ -group;

C is



10 X is O or S

Y is a direct bond

R<sup>5</sup> is absent

15 and the other substituents are as defined in claim 9.

11. A compound as claimed in claim 9,

wherein

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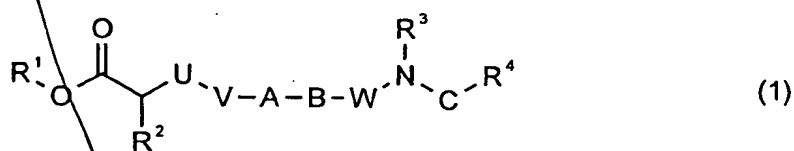
A is a 2,4- or 2,5-bridging thienylene groups which is unsubstituted or has at least one alkoxy residue

and the other substituents are as defined above.

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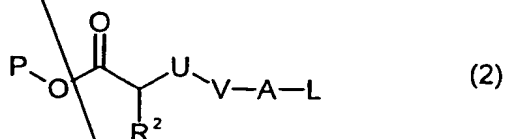
12. A process for the preparation of compounds as claimed in claim 1 having the general formula (1)

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A4



which comprises  
the steps

- a) reaction of a carboxylic acid derivative of the formula (2)



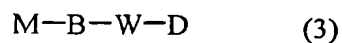
where

P is a conventional protective group, a solid phase used for carrying out a solid-phase reaction or R<sup>1</sup> is as defined in claim 1;

A is a phenylene group which is 1,3- or 1,4-substituted or a thienylene group which is 2,4- or 2,5-substituted with respect to V and L and optionally has additional residues;

L is -H, -F, -Cl, -Br, -I, -SCN, -N<sub>2</sub><sup>+</sup> or an organometallic residue; and the other residues are as defined in claim 1;

with a phenyl compound of the formula (3)



where

M is -H, -I, -N<sub>2</sub><sup>+</sup>, -COOCBNO<sub>2</sub> or an organometallic residue;

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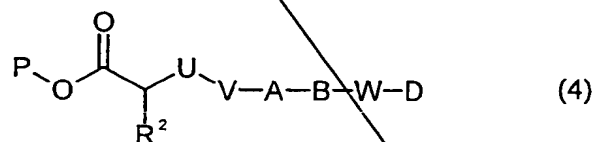


B is a phenylene group which is 1,3- or 1,4-substituted with respect to M and W-D and optionally has additional residues;

W is as defined in claim 1;

D is -NO<sub>2</sub>, -NH<sub>2</sub> or -CHO;

to give a biphenyl or thienyl-phenyl compound of the formula (4)



where the residues are as defined above;

- b) conversion of the residue D into the corresponding amino group, if D is not -NH<sub>2</sub>; and
- c) if appropriate, derivatization of nitrogen atoms present at preferred times within the preparation process and/or the conversion of the compound obtained into the free acid and/or the conversion of the compound obtained into one of its physiologically acceptable salts by reaction with an inorganic or organic base or acid.

13. The process as claimed in claim 12,

wherein

all steps during the bonding of the carboxylic acid derivative of the formula (2) are carried out on a solid phase.

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Sub  
A4

14. The process as claimed in claim 12,

wherein

a carboxylic acid derivative of the formula (2), in which

L is -F, -Cl, -Br or -I

and the other residues are as defined in claim 12,

is reacted with a phenyl compound of the formula (3), in which

M is an organometallic residue;

and the other residues are as defined in claim 12,

in the presence of a palladium compound and of a phosphane.

15. The process as claimed in claim 12,

wherein

the carboxylic acid derivative of the formula (2) contains a sulfonamide or carbamate group which was formed by reaction of an amino group of the corresponding precursor of the carboxylic acid derivative of the formula (2) with a sulfonyl halide or a carbamoyl halide.

16. The process as claimed in claim 12,

wherein

if D is  $-\text{NO}_2$  in the compound of the formula (4), the conversion of D into an amino group is carried out in the presence of a tin-(II) compound.

5 17. The process as claimed in claim 12,

wherein

10 if D is  $-\text{CHO}$  in the compound of the formula (4), the conversion of D into an amino group is carried out by reaction with an amine under reducing conditions.

18. The process as claimed in claim 12,

15 wherein

the compound of the formula (4) in which D is an amino group is converted into a urea or thiourea unit, where  $\text{R}^4$  and  $\text{R}^6$  are as defined in claim 12, by a reaction of this amino group with a carbonic acid derivative or thiocarbonic acid derivative and a subsequent reaction to this with an amine of the formula  $\text{NHR}^4\text{R}^6$ .

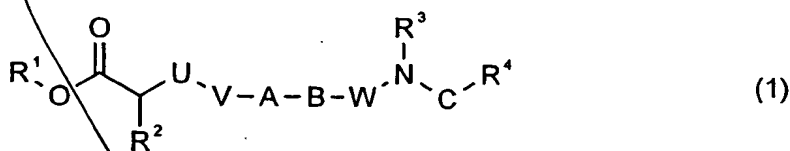
19. A pharmaceutical composition comprising at least one of the compounds as claimed in one of claims 1 to 11.

20. The use of compounds as claimed in one of claims 1 to 11 for the production of pharmaceutical compositions having integrin-antagonistic action.

21. The use of compounds of the general formula (1)

Sub  
AS

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where

Sub  
5 AS

R<sup>1</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

$R^2$  is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue,  $-NR^2SO_2R^2$ ,  $-NR^2COOR^2$ ,  $-NR^2COR^2$ ,  $-NR^2CONR^2$ , or  $-NR^2CSNR^2$ ;

R<sup>2'</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R<sup>2''</sup> is a substituted or unsubstituted alkyl, alkenyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

U is a direct bond or a substituted or unsubstituted alkylene group;

V is a substituted or unsubstituted alkylene group, -NR<sup>2'</sup>CO- or -NR<sup>2'</sup>SO<sub>2</sub>-;

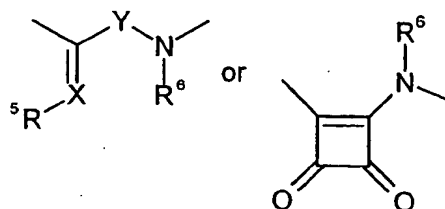
A and B are each independently of one another a 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group each of which may optionally have additional substituents,

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W is a direct bond or a substituted or unsubstituted alkylene group;

C

is a direct bond or

R<sup>3</sup>

is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>4</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>3</sup> is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

R<sup>4</sup>

is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>3</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>4</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

X

is CHNO<sub>2</sub>, CHCN, O, N or S;

Y

is a direct bond or an optionally substituted alkylene or alkine group;

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Sub  
AS

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5  
Sub  
AS  
10  
R<sup>5</sup> is absent, or is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, -NO<sub>2</sub>, -CN, -COR<sup>5</sup>, -COOR<sup>5</sup>, or is connected to one of R<sup>3</sup>, Y, R<sup>4</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

15  
R<sup>5</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue which can be saturated or unsaturated and/or can contain further heteroatoms;

20  
R<sup>6</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl or aroyl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>3</sup>, R<sup>4</sup>, Y or R<sup>5</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>6</sup> is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

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and their physiologically acceptable salts and stereoisomers, for the production of a pharmaceutical composition for the inhibition of angiogenesis and/or for the therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis and ophthalmic disorders.

30  
22. The use as claimed in claim 21,

wherein

a compound as claimed in one of claims 1 to 11 is employed for the production of a pharmaceutical composition for the inhibition of angiogenesis and/or for the therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis and ophthalmic disorders.

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A6

add  
B1

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